(b) Election of Species Requirement

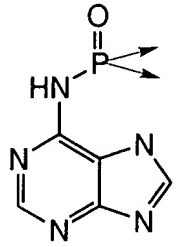
Applicants note with appreciation the withdrawal of this requirement.

(c) Objection to the Abstract

The abstract has been amended in accordance with the Examiner's instructions. Support for the inserted text is found in original claim 1, among other places.

(d) Rejections of Claims under §102

Various claims were rejected as anticipated under 35 USC §102(b) by each of the Charubala, Brush et al, Tate, Wada, Filippov and Kondo references. Those references disclose various adenine derivatives containing phosphoramides or thiophosphoramides involving the nitrogen at position 6 of the ring system, as illustrated by the generalized phosphoramide structure shown below:



The disclosures of each of the asserted references are distinguishable, however, since the R^B moiety of the claimed compounds must be an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety bearing a phosphorus-containing moiety as a substituent—thus excluding the type of phosphoramide depicted above.

See e.g. page 4 of the specification, lines 28 – 34 for an illustration of this. See also page 5, lines 23 – 29, as another example. The point is that the phosphorus-containing moiety of the claims in question is a substituent on an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which is attached to the nitrogen at position 6 of the purine ring system.

(e) Provisional Double Patenting Rejection

Applicants are prepared to take appropriate action, including a terminal disclaimer, to the extent that is necessitated by the ensuing prosecution of this case and copending USSN 09/740653.

(f) Rejections under §112

Numerous language issues were raised by the Examiner. Applicants believe that the language of their specification and now pending claims is proper, clear and definitive and hope that the following explanations prove sufficient.

1. The use of the term "aliphatic" is believed to be correct and appropriate as used. The term was used to indicate non-aromatic, rather than non-cyclic nature. This is consistent with the conventional understanding of the term. See e.g. page 40 of "Organic Chemistry" by Morrison and Boyd (3d Edition)(copy attached).

2. The terms "aliphatic" and "heteroaliphatic" are not seen as redundant. The definition of "heteroaliphatic" on page 24, lines 20 – 34 makes it clear that the term refers to aliphatic moieties which contain one or more of the listed heteroatoms in place of what would otherwise be carbon atoms. That is not redundant with aliphatic moieties lacking the described substitution. Compare that definition with the definition of "aliphatic" on page 22, lines 17 – 25.

3. Since aliphatic groups may be substituted or unsubstituted, specifying that a given occurrence of an aliphatic group may be either substituted or unsubstituted removes any potential ambiguity, e.g. that only an unsubstituted aliphatic may be been intended in that case.

4. As noted above, the term "heteroaliphatic" is carefully defined in the specification. See e.g. page 24, lines 20 – 34.

5. Applicants have stated that the groups in question may be branched or unbranched, cyclic or acyclic, substituted or unsubstituted, etc. to avoid any potential ambiguity in the subsequent interpretation of their claims. Doing so still seems appropriate and within customary practice.

6. Terminating in a cyano group means having a terminal cyano group. That is believed to have a reasonably clear meaning to one skilled in this art.

7 - 16. Amendments have rendered these issues moot.

17. Y can be a bond, so long as R^1 is not H (see lines 26 – 27 on page 100.

18. Applicants have used the common convention of labeling additional occurrences of a given substituent with an apostrophe or prime to remind the reader that each occurrence is independently selected according to the definition provided. M' is therefore another (independently chosen) example of a "M" moiety. This would be clear to one of ordinary skill in the art.

19 - 20. Since M is a substituted or unsubstituted methylene group, M-M or M-M' represents a substituted or unsubstituted 2-carbon unit, which applicants have further indicated may be saturated or unsaturated, i.e., may be connected to one another through single, double or triple bonds (which thought of as involving shared electrons). Applicants' terminology has a clear and distinct meaning to those of skill in this art. However, the Examiner is certainly correct that the word "electronically" is unnecessary, and its deletion will not affect the meaning of the claim. It has been deleted throughout the claims.

21. Amendments have rendered these issues moot.

22. The variable, R^6, is actually defined in original claim 3, just under the structures of "IIa"

23. Amendments have rendered these issues moot.

24. Applicants have defined the phrase "pharmaceutically acceptable derivative" on page 30, line 16 – page 31, line 26. Its meaning is believed to be sufficiently clear in view of that definition.

25 - 27. Amendments have rendered these issues moot.

28. See item 18.

29. The full phrase quoted in the Office Action ends with "R3" which gives meaning to the substitution. A definition of R3 has been added (from claim 5, supplemented with a definition of Series II).

30. Applicants submit that the term "acyl" is sufficiently clearly understood in the context of this document and will convey a reasonably definitive meaning to one of skill in this art.

31- 32. Substituents of the sulfate, sulfonate and sulfonamido types are appropriate here [e.g., $-OSO_2H$, $-SO_3H$ and $-SO_2NH_2$]. Amendments are believed to have rendered the remaining issues moot.

33. "Phosphorus-containing moiety" is defined on page 25, lines 25 - 31 and illustrated in the specification by many examples. The meaning intended by the phrase is believed to reasonably convey to one skilled in this art the phosphorus-containing substituents intended by applicants.

34 – 37, 40. Amendments have rendered these issues moot.

38, 39. In claim 36, R^8 is selected from the moieties of Series II —or— the group bearing it, i.e., the aryl ring bearing R^3 and R^8, is selected from Series III. The definitions are not circular after all.

41. At the top of page 114 (claim 17), the various candidates for R^A are set off by semicolons. R^3 can therefore be read only as a substituent on an aryl group.

42. The definition has been added.

43. In claim 17, R is actually defined. The definition of R is located just after the definition of Z on line 8 of page 114. That definition applies, as it says, to all occurrences of "R" without a further superscript, i.e., whether in –ZR or in YR. In the case of R^D, additional limitations are placed on the choice of R, but that is clearly stated. That explanation holds true for claims 37 – 39 as well.

44. Again, the same explanation holds for claim 36 (which now also has the benefit of additional definitions).

45, 46. Amendments have rendered these issues moot.

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47. The term, "prodrug" are defined in the specification. See e.g. page 29 and page 31, lines 16 – 26. The term is believed to be reasonably definite in context and often appears in patents.

48 -49. Amendments have rendered these issues moot.

- - - -

Again, applicants thank the examiner for his thorough and thoughtful review of this case. We believe that the claims as amended are in good condition for allowance. If any issues remain open which might be amenable to resolution by phone, the Examiner is invited to call applicants' undersigned attorney.

Respectfully submitted,

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CERTIFICATE OF MAILING UNDER 37 C.F.R. §1.8(a)

I hereby certify that this correspondence is being deposited with the United States Postal Service as First Class Mail, postage prepaid, in an envelope addressed to: Assistant Commissioner for Patents Washington, D.C. 20231 on the date indicated below:

date: January 13, 2003

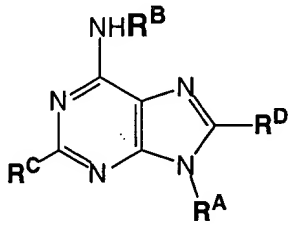
David L. Berstein

David L. Berstein

Claims

B2

1 (amended). A compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

with the following provisos:

(A) (1) $\mathbf{R^D}$ is a moiety other than one comprising a substituted or unsubstituted arylene moiety (in which up to two methine carbons may be replaced by nitrogen atoms), a C3-7 cycloalkylene moiety (which may contain nitrogen atoms in place of up to two ring carbons), an indanylene moiety, or a 1,2,3,4-tetrahydronaphthylene moiety; **or** (2) $\mathbf{R^D}$ is a moiety other than one terminating in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

(B) in compounds in which R^C is H, OH, halogen, alkoxy or cycloalkoxy groups of 1 to 6 carbon atoms (which alkoxy and cycloalkoxy groups can be substituted with phenyl) or amine, which can be substituted with phenyl or with alkyl or cycloalkyl groups of 1 to 6 carbon atoms, and R^A is benzyl, phenyl or C1-4 alkyl, optionally substituted with an oxygen-containing substituent , R^B is a moiety other than a heteroatom- and halogen-substituted

(1) 3 to 8 carbon cycloalkyl,

(2) 1 to 10 carbon alkyl,

(3) 6 to 13 carbon aryl, or

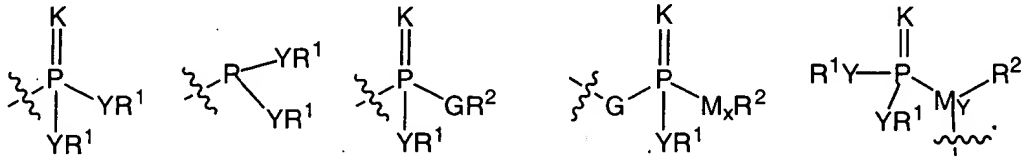
(4) 7 to 14 carbon aralkyl,

moiety in which the heteroatom is selected from N, P, S and O; and,

B9

(C) (1) at least one of R^A, R^C or R^D comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at ring position 2 of the purine ring system; or (3) R^B comprises a phosphorus-containing moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, di-arylalkyl aminocarbonylmethyloxy, arylamino, a D- or L- amino acid (forming a phosphoramide), N-alkylpiperidine-4yloxy, 2-methylsulfonylethoxy, 1,3 thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atom that connects them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

2 (amended). The compound of claim 1, wherein the phosphorus-containing moiety in R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl group which comprises at least one of the substituents set forth in Series II:



II

wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R (without a further alphanumeric superscript) is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$

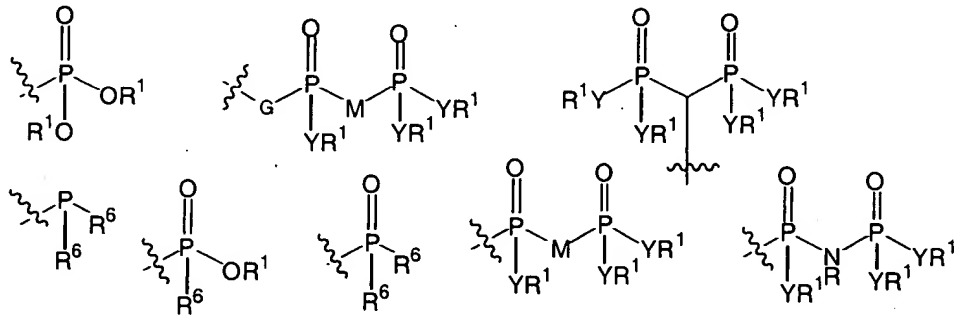
B2 each occurrence of G is independently -O-, -S-, –NR– or M_x;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6; and,

each occurrence of My is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted.

3. The compound of claim 2, wherein the phosphorus-containing moiety in R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl group which comprises at least one of the substituents set forth in Series IIa:

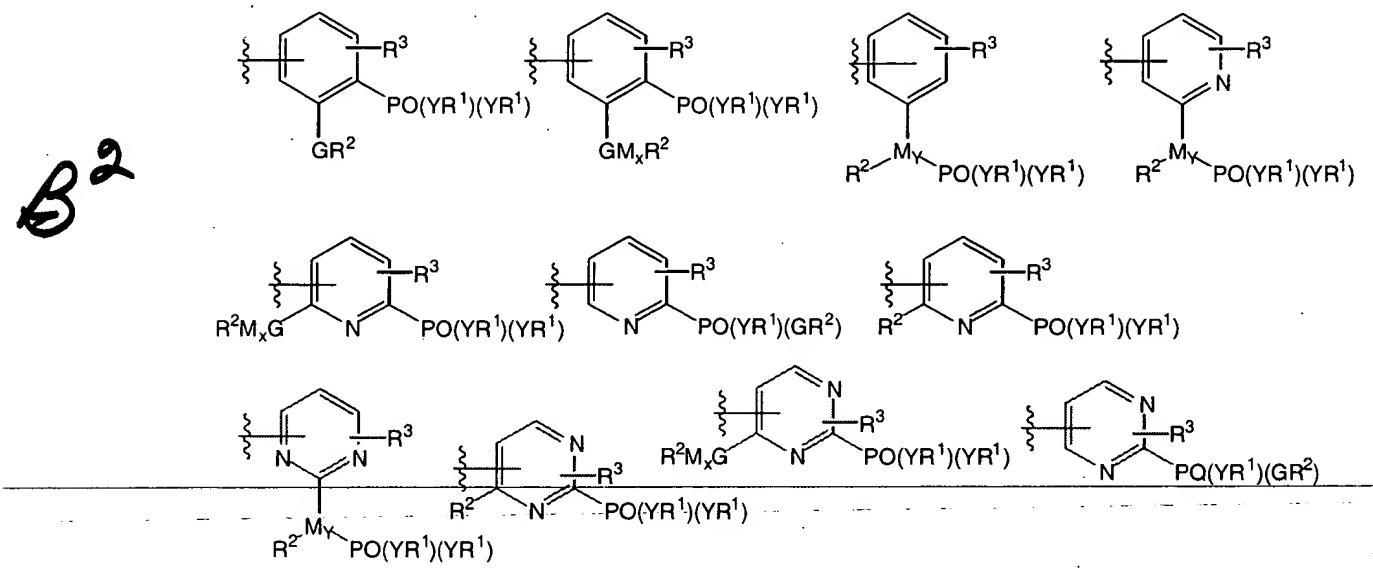


IIa

wherein each occurrence of R^6 is an independently selected aliphatic, heteroaliphatic, aryl, or heteroaryl moiety.

4. The compound of claim 3 in which R^1 is H or lower alkyl; M is $-CH_2-$, –CH(OH)–, –CH(halo)–, or $-C(halo)_2-$; R^6 is lower alkyl and R is H.

5 (amended). The compound of claim 1, wherein R^B is any of the aryl or heteroaryl moieties of Series III:



III

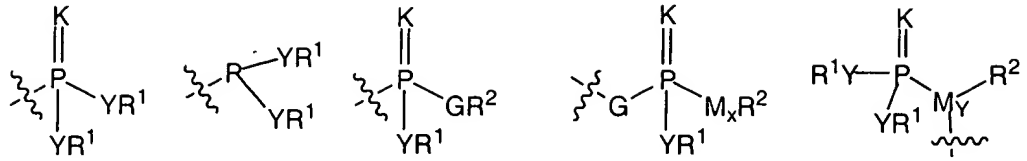
wherein each occurrence of Y is independently a covalent bond, -O-, -S- or –NR–;

each occurrence of R (without a further alphanumeric superscript) is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PO(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$

each occurrence of R^3 independently represents from 0-3 substituents independently selected from the group consisting of halogen; R, –GR, –CO(YR), acylamino, amido, amidino, cyano, nitro, azido, sulfate, sulfonate, sulfate, sulfonate, sulfamoyl, sulfonamido, and substituents of Series II:



II;

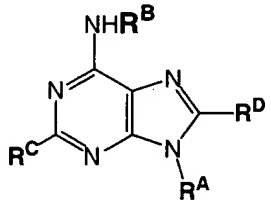
wherein each occurrence of K is independently -O- or -S-;
each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M′ moiety may be saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6; and,

each occurrence of M_Y is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted.

6 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):

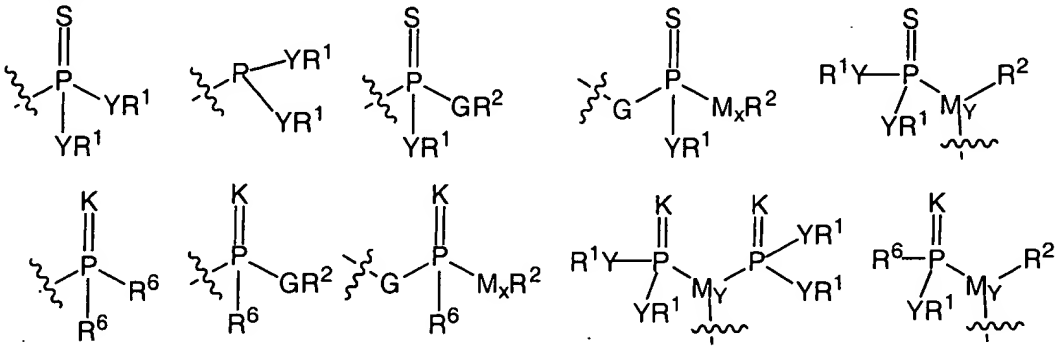


(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B comprises an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety bearing at least one a substituent of Series IIb:



IIb

wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

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each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$;

each occurrence of R^6 is an independently selected aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M′ moiety may be saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6;

each occurrence of M_Y is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

with the proviso that (1) $\mathbf{R^D}$ is a moiety other than one comprising a substituted or unsubstituted arylene moiety (in which up to two methine carbons may be replaced by nitrogen atoms), a C3-7 cycloalkylene moiety (which may contain nitrogen atoms in place of up to two ring carbons), an indanylene moiety, or a 1,2,3,4-tetrahydronaphthylene moiety; **or** (2) $\mathbf{R^D}$ is a moiety other than one terminating in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group.

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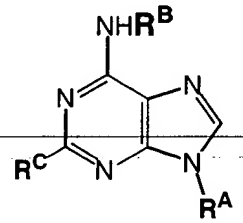
7 (amended). The compound of formula **(Ia)** (or a pharmaceutically acceptable derivative thereof):

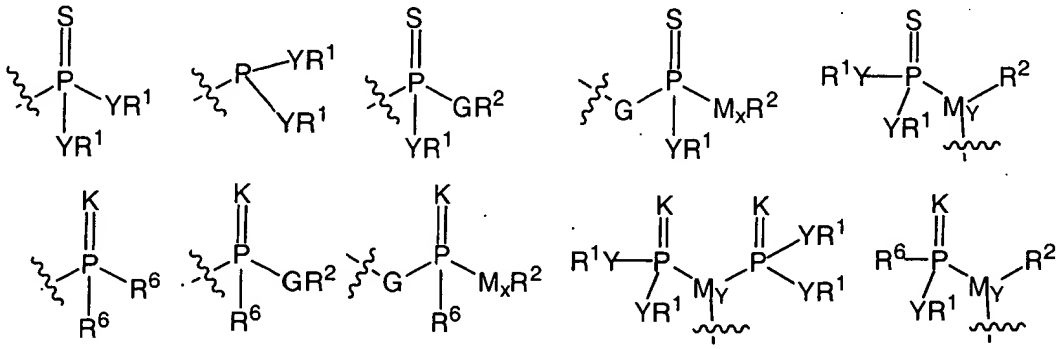


(Ia)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B comprises an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety bearing at least one a substituent of Series IIb:



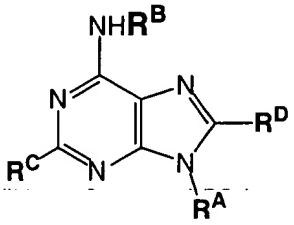
IIb

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety; and,

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

8 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):

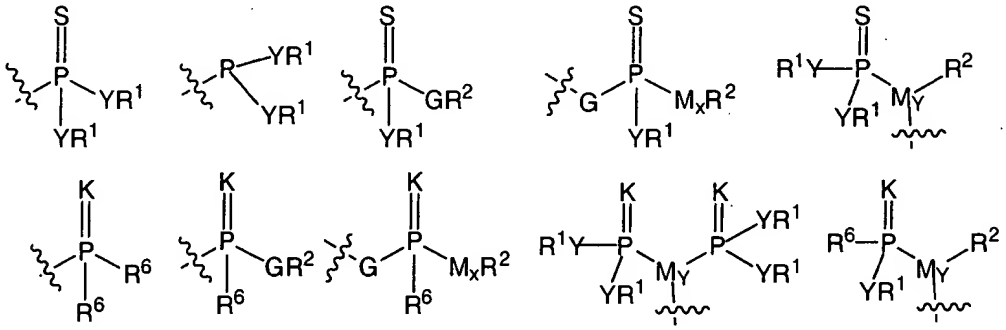


(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B comprises an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety bearing at least one a substituent of Series IIb:



IIb

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted except as provided to the contrary.

9. The compound of formula **(Ia)** (or a pharmaceutically acceptable derivative thereof):

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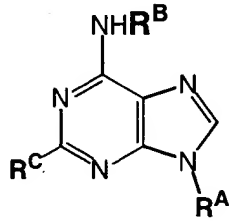
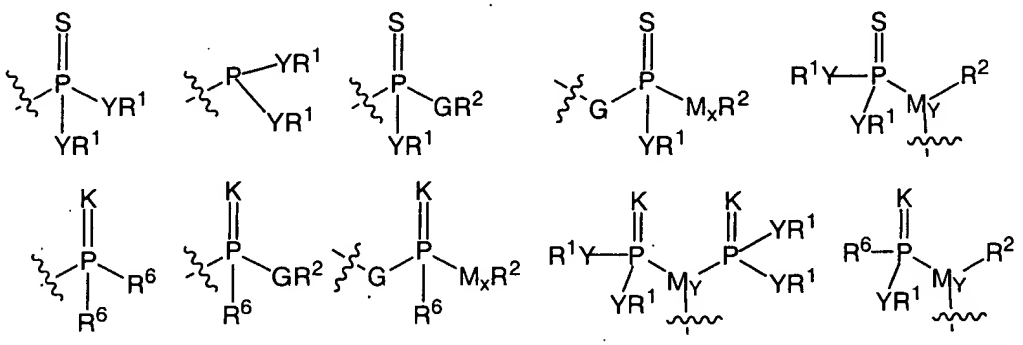


(Ia)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B comprises an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety bearing at least one a substituent of Series IIb:

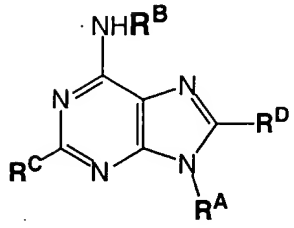


IIb

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted except as provided to the contrary.

10 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):

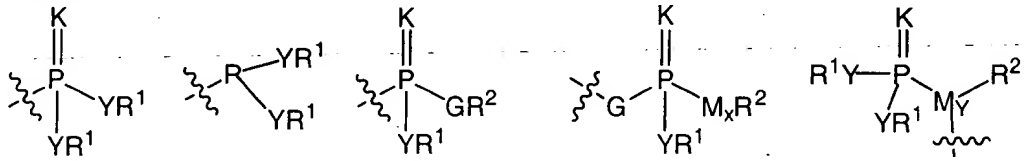


(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more of the moieties of Series II:



II

wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$;

each occurrence of R^6 is an independently selected aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

each occurrence of G is independently -O-, -S-, –NR– or M_X;

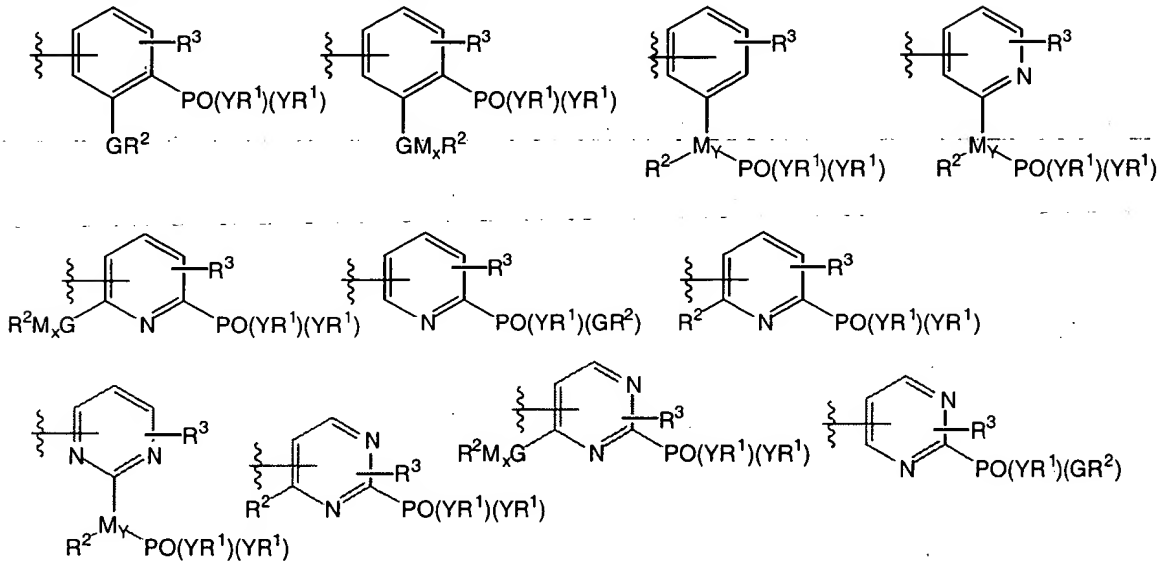
each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6;

each occurrence of My is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted;

B2

or R^B is one of the moieties of Series III:



III

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

with the proviso that (1) at least one of R^A, R^C or R^D comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at

ring position 2 of the purine ring system; or (3) R^B comprises a phosphorus-containing moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, (di-aryl-alkylaminocarbonylmethyloxy, arylamino, a D- or L-amino acid (forming a phosphoramide), N-alkyl)piperidine-4yloxy, 2-methylsulfonylethoxy, 1,3 thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atoms that connect them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

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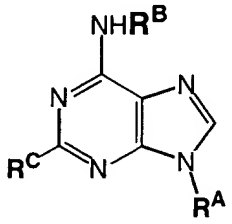
11 (amended). The compound of formula **(Ia)** (or a pharmaceutically acceptable derivative thereof):

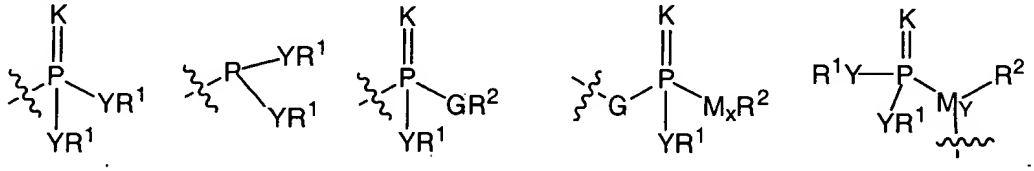


(Ia)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more of the moieties of Series II:



II

wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$;

B2

each occurrence of R^6 is an independently selected aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

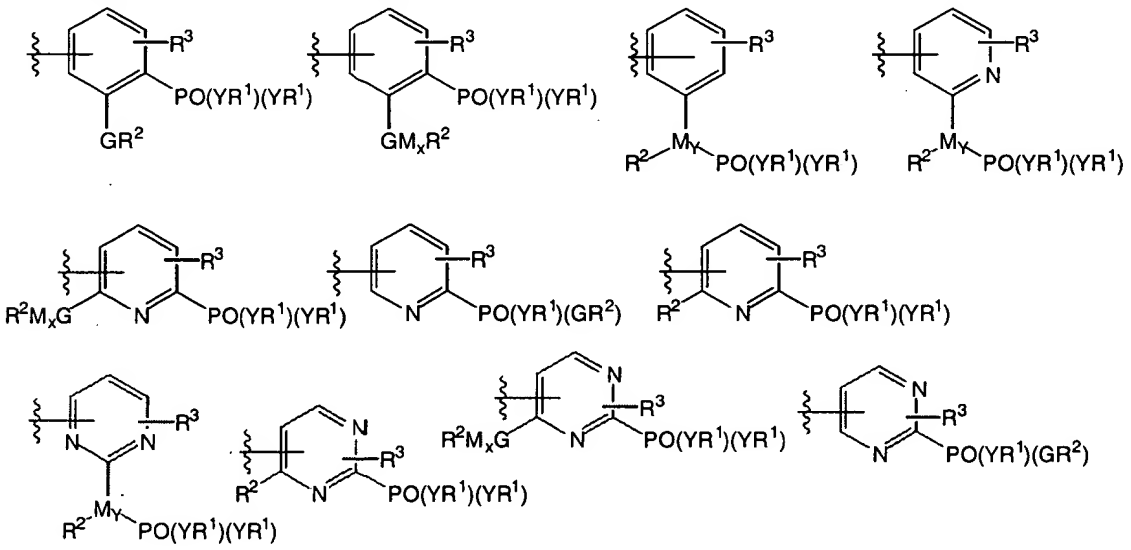
each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6;

each occurrence of M_Y is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted;

or R^B is one of the moieties of Series III:



III

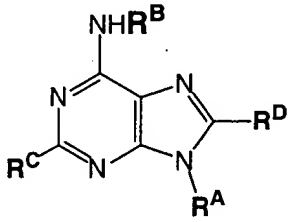
R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric

superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety; and,

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

82 with the proviso that (1) at least one of R^A and R^C comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at ring position 2 of the purine ring system; or (3) R^B comprises a moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, (di-aryl-alkylaminocarbonylmethyloxy, arylamino, a D- or L- amino acid (forming a phosphoramide), N-alkyl)piperidine-4yloxy, 2-methylsulfonylethoxy, 1,3 thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atoms that connect them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

12 (amended) The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

R^C is a branched or unbranched alkyl or cycloalkyl group bearing one or more substitutents; a branched, unbranched or cyclic alkoxy moiety substituted with one or more OR, NRR', or substituted aryl moieties; a branched or unbranched alkene or alkenoxy moiety or a cycloalkene or cycloalkenoxy moiety which may be optionally substituted with one or more

substituents; or NRR′ where R and R′ are independently selected from substituted or unsubstituted aliphatic and substituted aryl moieties;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

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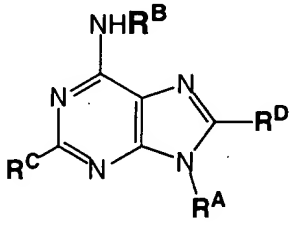
with the proviso that (1) at least one of R^A, R^C or R^D comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at ring position 2 of the purine ring system; or (3) R^B comprises a phosphorus-containing moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, (di-aryl-alkylaminocarbonylmethyloxy, arylamino, a D- or L-amino acid (forming a phosphoramide), N-alkyl)piperidine-4yloxy, 2-methylsulfonylethoxy, 1,3. thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atoms that connect them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

13 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

wherein

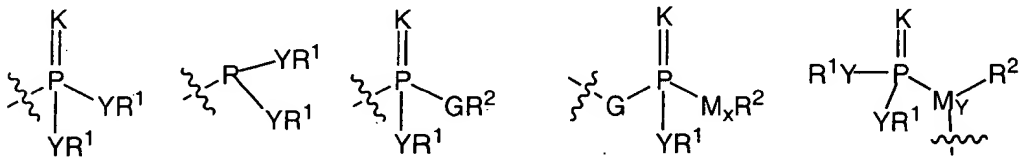
R^A is hydrogen; ***halogen;*** alkenyl; alkynyl; alkyl-; –alkylaryl where the aryl group contains at least one substituent, R^3; –alkylheteroaryl which may be optionally subsituted; or a substituted aryl or optionally substituted heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

R^C is hydrogen; halogen; an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety; or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

$\mathbf{R^D}$ is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

wherein each occurrence of R^3 independently represents from 0-3 substituents independently selected from the group consisting of halogen; R, –GR, –CO(YR), acylamino, amido, amidino, cyano, nitro, azido, sulfate, sulfonate, sulfate, sulfonate, sulfamoyl, sulfonamido, and substituents of Series II:



wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$

each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be electronically saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6; and,

each occurrence of My is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted.

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

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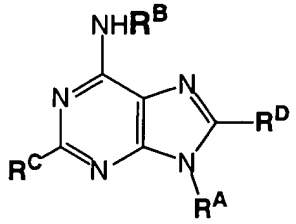
with the proviso that (1) at least one of R^A, R^C or R^D comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at ring position 2 of the purine ring system; or (3) R^B comprises a phosphorus-containing moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, (di-aryl-alkylaminocarbonylmethyloxy, arylamino, a D- or L-amino acid (forming a phosphoramide), N-alkyl)piperidine-4yloxy, 2-methylsulfonylethoxy, 1,3 thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atoms that connect them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

14 (amended) The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

at least one of R^A, R^D and R^C also contains an independently selected phosphorus-containing moiety;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

with the proviso that in compounds in which R^C is H, OH, halogen, alkoxy or cycloalkoxy groups of 1 to 6 carbon atoms (which alkoxy and cycloalkoxy groups can be substituted with phenyl) or amine, which can be substituted with phenyl or with alkyl or cycloalkyl groups of 1 to 6 carbon atoms, and R^A is benzyl, phenyl or C1-4 alkyl, optionally substituted with an oxygen-containing substituent , R^B is a moiety other than a heteroatom- and halogen-substituted

(1) 3 to 8 carbon cycloalkyl,

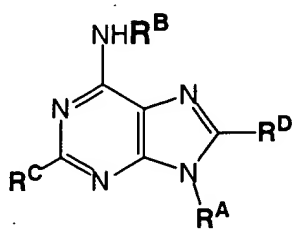
(2) 1 to 10 carbon alkyl,

(3) 6 to 13 carbon aryl, or

(4) 7 to 14 carbon aralkyl moiety

in which the heteroatom is selected from N, P, S and O.

15 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):

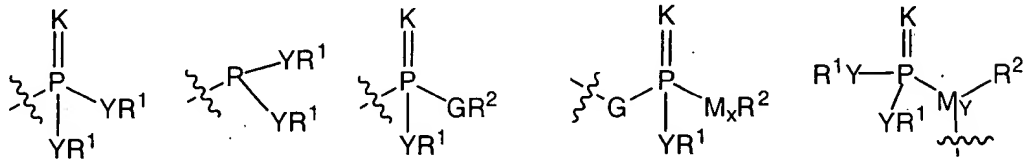


(I)

wherein

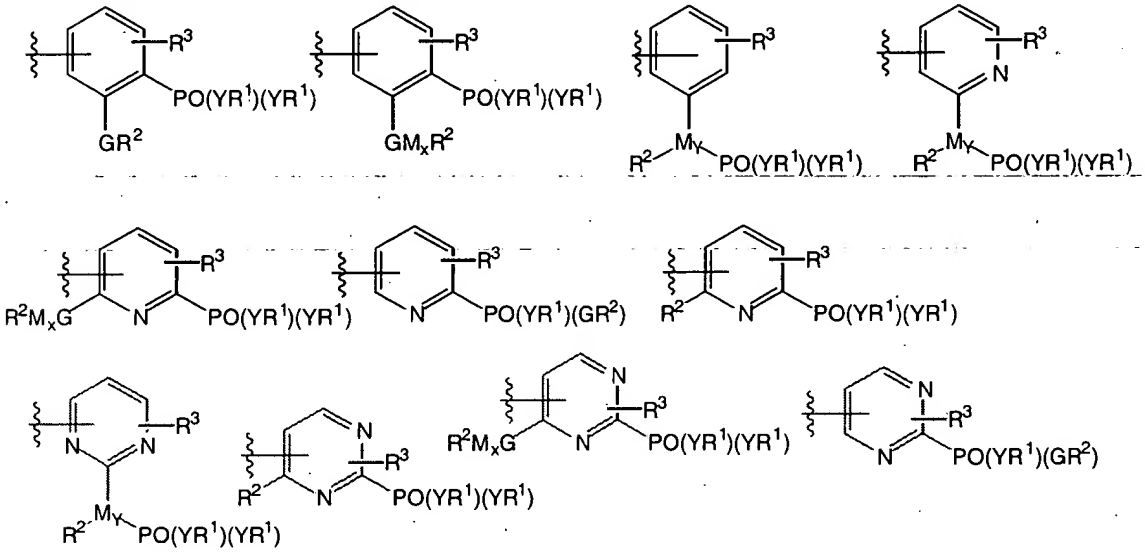
R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more of the moieties of Series II:



II

or is one of the moieties of Series III:



III

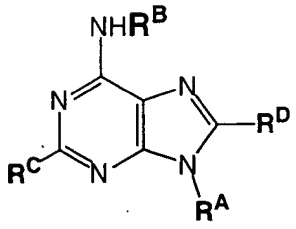
R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

at least one of R^A, R^D and R^C also contains an independently selected phosphorus-containing moiety;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

16 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

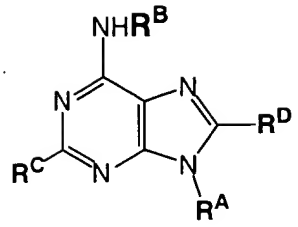
R^C is a branched, unbranched or cyclic alkyl group bearing one or more substitutents; a branched or unbranched alkoxy or cycloalkoxy moiety substituted with one or more OR, NRR', or substituted aryl moieties; a branched or unbranched alkene or alkenoxy moiety or a cycloalkene or cycloalkenoxy moiety which may be optionally substituted with one or more substituents; or NRR' where R and R' are independently selected from substituted or unsubstituted aliphatic and substituted aryl moieties;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

at least one of R^A, R^D and R^C also contains an independently selected phosphorus-containing moiety;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

17 (amended). The compound of formula **(I)** (or a pharmaceutically acceptable derivative thereof):



(I)

B2

wherein

R^A is hydrogen; ***halogen;*** alkenyl; alkynyl; alkyl- or alkenyl-aryl where the aryl group contains at least one substituent, R^3; or a substituted aryl or heteroaryl moiety;

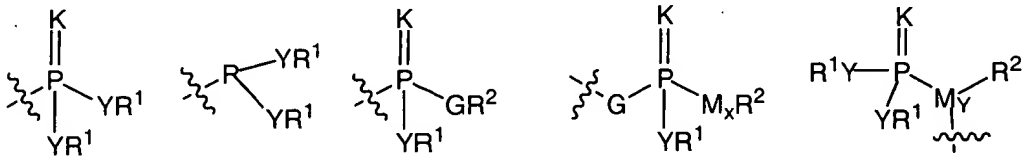
R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, or -YR, wherein R is a moiety which does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

at least one of R^A, R^D and R^C also contains an independently selected phosphorus-containing moiety;

wherein each occurrence of R^3 independently represents from 0-3 substituents independently selected from the group consisting of halogen; R, –GR, –CO(YR), acylamino, amido, amidino, cyano, nitro, azido, sulfate, sulfonate, sulfate, sulfonate, sulfamoyl, sulfonamido, and substituents of Series II:



wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$

each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be electronically saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6; and,

each occurrence of M_Y is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be further substituted or not.

18. The compound of any of of claims 1 to 17 in which R^D is H or halo.

19 (amended). The compound of any of of claims 1 to 17 in which the phosphorus-containing moiety of R^B is present on an aryl or heteroaryl ring system.

20 (amended). The compound of any of of claims 1 to 17 in which R^A is lower aliphatic, and may be branched or unbranched, cyclic or acyclic, and optionally substituted with one or more substituents selected from a lower aliphatic group (which may be substituted or unsubstituted), –OR, –SR, –NRR', –C(O)YR, and –Y–C(O)Y'R, where Y is O, S, NR, or a bond and R is H or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety.

21. The compound of claim 20 in which R^A is lower aliphatic which may be substituted with one or more hydroxy, alkoxy, aralkoxy, carbamyl, amino, substituted amino, acyl, cyano, halogen, nitro or sulfo groups, and/or with one or more alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclic, aryloxy or aralkyl moieties which may themselves be substituted with one or more hydroxy, alkoxy, aralkoxy, carbamyl, amino, substituted amino, acyl, cyano, halogen, nitro or sulfo groups.

22. The compound of claim 20 in which R^A is Mx–aryl or Mx–heterocycle where M is a substituted or unsubstituted methylene, x is an integer from 1 to 6, the aryl moeity may bear one or more substituents, and the heterocycle is a substituted or unsubstituted, aromatic or nonaromatic heterocyclic moiety comprising a 5- to 7-membered ring bearing one or more heteroatoms.

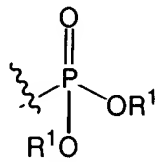
B2 23. The compound of claim 21 wherein M_X is methylene, ethylene or propylene, and the aryl moiety is o-, m-, or p-hydroxy-, 2,3-dihydroxy-, 2,4-dihydroxy-, 2,5-dihydroxy-, 3,4-dihydroxy-, or 3,5-dihydroxyphenyl.

24 (amended). The compound of any of claims 1 to 17, wherein R^C is –OR, where R is H, aliphatic, heteroaliphatic, aryl, or heteroaryl .

25 (amended). The compound of any of claims 1 to 17, wherein R^C is =R, =NR or –OR in which R is C1-C8 aliphatic, which may be branched or unbranched, cyclic or noncyclic, and which may be substituted with one or more hydroxy, alkoxy, aralkoxy, carbamyl, amino, substituted amino, acyl, cyano, halogen, nitro or sulfo groups, and/or with one or more alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclic, aryloxy or aralkyl moieties which may themselves be substituted with one or more hydroxy, alkoxy, aralkoxy, carbamyl, amino, substituted amino, acyl, cyano, halogen, nitro or sulfo groups.

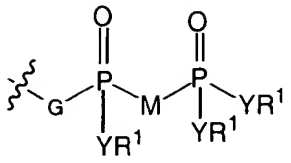
26 (amended). The compound of any of claims 1 to 17, wherein R comprises a C1-C8 aliphatic moiety substituted with one or more groups selected from the following: a substituted or unsubstituted amine or 5- to 7-membered heterocyclic moiety, which may itself be optionally substituted.

27 (amended). The compound of any of claims 1 to 17 in which R^B comprises



wherein each R^1 is independently H, alkyl, arylalkyl, aryl or a prodrug moiety.

28 (amended). The compound of any of claims 1 to 17 in which R^B comprises



wherein each R^1 is independently H, alkyl, arylalkyl, aryl or a prodrug moiety.

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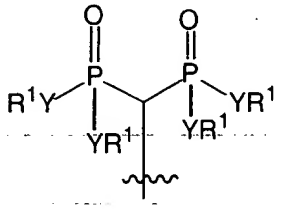
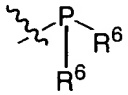
29 (amended). The compound of any of claims 1 to 17 in which R^B comprises



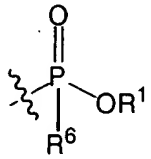
wherein each R^1 is independently H, alkyl, arylalkyl, aryl or a prodrug moiety.

30 (amended). The compound of any of claims 1 to 17 in which R^B comprises



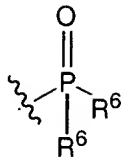
wherein each R^6 is independently alkyl, arylalkyl, aryl or a prodrug moiety.

31 (amended). The compound of any of claims 1 to 17 in which R^B comprises



wherein R^1 is H, alkyl, arylalkyl or a prodrug moiety and R^6 is aliphatic, heteroaliphatic, aryl, or heteroaryl or a prodrug moiety.

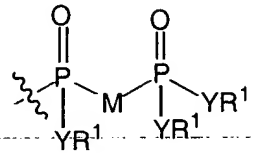
32 (amended). The compound of any of claims 1 to 17 in which R^B comprises



wherein each R^6 is independently aliphatic, heteroaliphatic, aryl, or heteroaryl or a prodrug moiety.

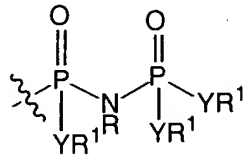
B2

33 (amended). The compound of any of claims 1 to 17 in which R^B comprises



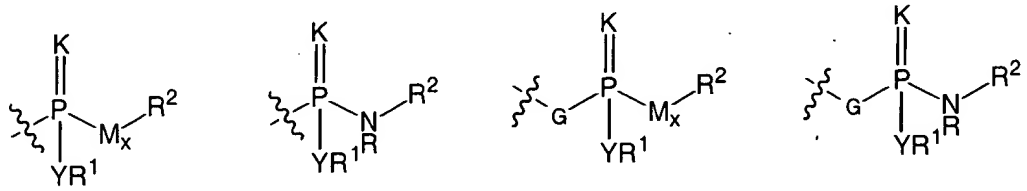
wherein each R^1 is H, alkyl, arylalkyl or a prodrug moiety, and Y and M are as defined previously.

34 (amended). The compound of any of claims 1 to 17 in which R^B comprises



wherein each R^1 is independently H, H, alkyl, arylalkyl, aryl or a prodrug moiety and R is aliphatic, heteroaliphatic, aryl, or heteroaryl.

35 (amended). The compound of claim 2 in which R^B comprises one of the following structures



wherein each occurrence of each of the following is as indicated:
G is O, S, NR or M_X;

K is O or S;

Y is O, S, NR or a chemical bond linking R^1 to P;
R^1 is H, alkyl, arylalkyl or a prodrug moiety;
M is substituted or unsubstituted methylene;
x is an integer from 1 to 6; and,
R^2 is R^1, $PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$.

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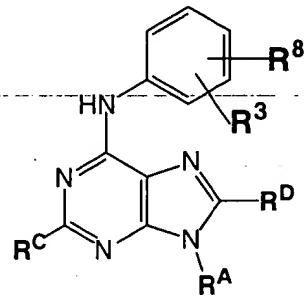
36 (amended). A compound of formula **(Ib)** (or a pharmaceutically acceptable derivative thereof):



(Ib)

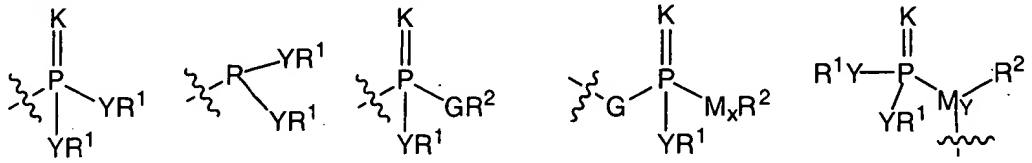
wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

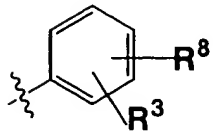
R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR;

R^8 is selected from the moieties of Series II:

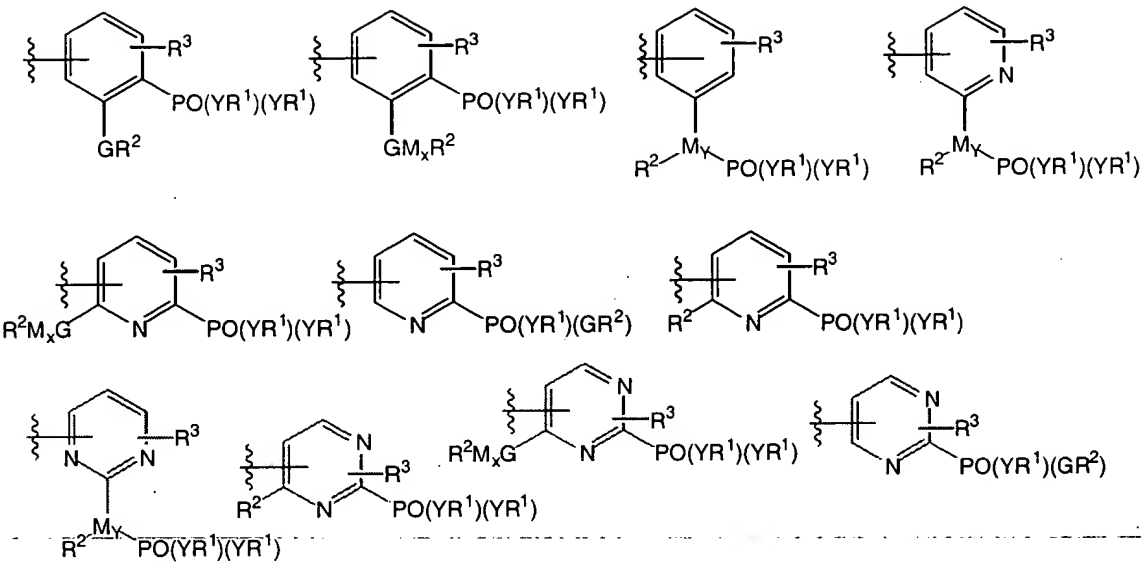


II

or



is selected from the moieties of Series III:

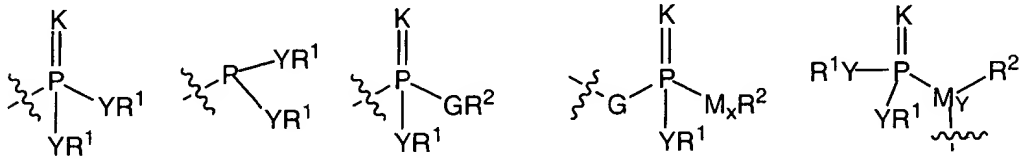


B2

III

R^3 represents from 0-3 substituents independently selected from the group consisting of halogen; R, –GR, –CO(YR), acylamino, amido, amidino, cyano, nitro, azido, sulfate, sulfonate, sulfamoyl, sulfonamido, and substituents of Series II;

wherein each occurrence of R^3 independently represents from 0-3 substituents independently selected from the group consisting of halogen; R, –GR, –CO(YR), acylamino, amido, amidino, cyano, nitro, azido, sulfate, sulfonate, sulfate, sulfonate, sulfamoyl, sulfonamido, and substituents of Series II:



wherein each occurrence of K is independently -O- or -S-;

each occurrence of Y is independently -O-, -S-, –NR– or a chemical bond linking R^1 to P;

each occurrence of R^1 is independently a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or, except in YR^1 moieties in which Y is a covalent bond, R^1 may also be H;

each occurrence of R^2 is independently R^1, $-PK(YR^1)(YR^1)$, $-SO_2(YR^1)$ or $-C(O)(YR^1)$

each occurrence of G is independently -O-, -S-, –NR– or M_X;

each occurrence of M is independently a substituted or unsubstituted methylene moiety, and any M-M' moiety may be electronically saturated or unsaturated;

each occurrence of x is independently an integer from 1 – 6; and,

each occurrence of MY is independently a methine group or a lower alkyl moiety which contains a methine group and optionally may be further substituted.

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

with the following provisos:

(A) (1) $\mathbf{R^D}$ is a moiety other than one comprising a substituted or unsubstituted arylene moiety (in which up to two methine carbons may be replaced by nitrogen atoms), a C3-7 cycloalkylene moiety (which may contain nitrogen atoms in place of up to two ring carbons), an indanylene moiety, or a 1,2,3,4-tetrahydronaphthylene moiety; **or** (2) $\mathbf{R^D}$ is a moiety other than one terminating in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group;

(B) in compounds in which R^C is H, OH, halogen, alkoxy or cycloalkoxy groups of 1 to 6 carbon atoms (which alkoxy and cycloalkoxy groups can be substituted with phenyl) or amine, which can be substituted with phenyl or with alkyl or cycloalkyl groups of 1 to 6 carbon atoms, and R^A is benzyl, phenyl or C1-4 alkyl, optionally substituted with an oxygen-containing substituent , R^B is a moiety other than a heteroatom- and halogen-substituted

(1) 3 to 8 carbon cycloalkyl,

(2) 1 to 10 carbon alkyl,

(3) 6 to 13 carbon aryl, or

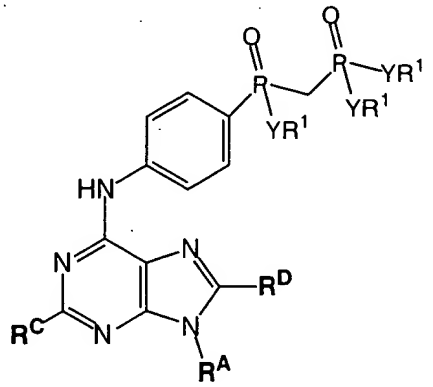
(4) 7 to 14 carbon aralkyl moiety

in which the heteroatom is selected from N, P, S and O; and,

(C) (1) at least one of R^A, R^C or R^D comprises a phosphorus-containing moiety; (2) R^C is covalently attached through a C-C bond to the carbon atom at ring position 2 of the purine

ring system; or (3) R^B comprises a phosphorus-containing moiety other than $-P(O)R^JR^{J'}$ where R^J and $R^{J'}$ are independently OH, alkoxy, arylalkoxy, aryloxy, alkylcarbonyloxy-alkoxy, arylalkylcarbonyloxyalkoxy, $NR^kR^{k'}$, mono- or di-alkylaminocarbonylmethyloxy, (di-aryl-alkylaminocarbonylmethyloxy, arylamino, a D- or L- amino acid (forming a phosphoramide), N-alkyl)piperidine-4yloxy, 2-methylsulfonylethoxy, 1,3 thiazole-2-ylmethyloxy, 3-pyridylmethyloxy, or 2-((di-alkyl)amino)ethoxy, where R^k is H, alkyl, cycloalkyl, cycloalkylalkyl, or aryl or arylalkyl (1-5 carbon atoms of the aryl ring may be replaced with N, O or S), or R^k and $R^{k'}$ together with the atoms that connect them form a ring system (which can also contain additional N, O or S atoms and which can be saturated or unsaturated).

37 (amended). The compound (or a pharmaceutically acceptable derivative thereof) of the formula :



wherein

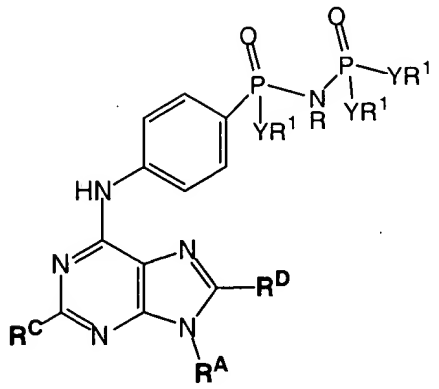
R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, with the proviso that R^D does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group; and

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

38. The compound (or a pharmaceutically acceptable derivative thereof) of the formula :



(I)

wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, with the proviso that R^D does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group; and

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

39 (amended). The compound (or a pharmaceutically acceptable derivative thereof) of the formula :

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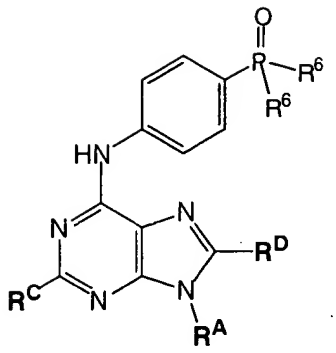


wherein

R^A is hydrogen, or an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^C is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, wherein Z is -O-, -S-, or NR, wherein each occurrence of R without a further alphanumeric superscript is independently hydrogen, or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

R^D is hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety, or -ZR, with the proviso that R^D does not terminate in a cyano group or in an N-substituted or unsubstituted amino, amidino, guanidino or guanidinoalkyl group; and

each occurrence of R^6 is an independently selected aliphatic, heteroaliphatic, aryl, or heteroaryl moiety;

wherein in each of the foregoing groups each aliphatic or heteroaliphatic moiety may be branched or unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted.

40 (amended).A composition containing a compound of any of claims 1 to 17 or 35 - 39 and one or more pharmaceutically acceptable excipient or additive.

41 (amended). A pharmaceutical preparation comprising at least one compound of any of claims 1 to 17 or 35 - 39 or a pharmaceuticallly acceptable derivative thereof, as inhibitors of bone resorption by osteoclasts, as inhibitors of tumor growth and tumor metastasis, for the treatment and prophylaxis of diseases or undesirable conditions which are mediated by a kinase inhibited by said compound, and at least one pharmaceutically acceptable excipient or additive.

42 (amended). A pharmaceutical preparation comprising at least one compound of any of claims 1 to 17 or 35 - 39 or a pharmaceuticallly acceptable derivative thereof, and at least one pharmaceutically innocuous excipient or additive.

32

43 (amended). A method for inhibiting bone resorptions, inhibiting tumor growth and/or tumor metastasis, or for the treatment and prevention of diseases or undesirable conditions which are mediated by a kinase inhibited by compound of any of claims 1 to 17 or 35 - 39, comprising administering a therapeutically effective amount of said compound or a pharmaceuticallly acceptable derivative thereof to a human or animal in need thereof.

44 (amended). A method for inhibiting bone resorption by osteoclasts, comprising administering a therapeutically effective amount of a compound of any of claims 1 to 17 or 35 - 39, or a pharmaceuticallly acceptable derivative thereof, to a human or animal in need thereof.

45 (amended). A method for inhibiting tumor growth and/or tumor metastasis, comprising administering a therapeutically effective amount of a compound of any of claims 1 to 17 or 35 - 39, or a pharmaceuticallly acceptable derivative thereof, to a human or animal in need thereof.

46 (amended). A method for the treatment and prophylaxis of diseases which are mediated by a kinase inhibited by a compound of any of claims 1 to 17 or 35 - 39, comprising administering a therapeutically effective amount of said compound, or a pharmaceuticallly acceptable derivative thereof, to a human or animal in need thereof.

-D

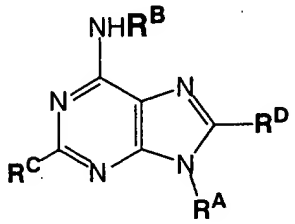
Purine Derivatives

Abstract

clean + marked-up

Abstract

This invention relates to compounds of the general formula:



in which R^A, R^B, R^C and R^D are as defined herein, and to their preparation and use. In these compounds, R^B is an aliphatic, heteroaliphatic, aryl, or heteroaryl moiety which comprises one or more phosphorus-containing moieties.